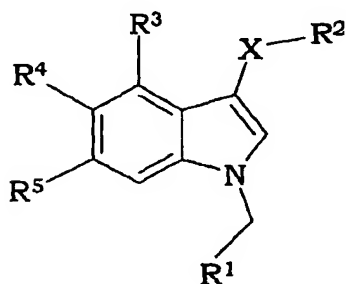


Claims

1. A compound having the formula



5 wherein

X is S, SO or SO₂;

R¹ is a 5- or 6-membered monocyclic, hetero- or homocyclic, saturated or unsaturated ring structure, optionally substituted with one or more substituents selected from the group consisting of halogen, CN, (1C-4C)fluoroalkyl, nitro, (1C-4C)alkyl, (1C-4C)alkoxy or (1C-4C)fluoroalkoxy;

R² is 2-nitrophenyl, 2-cyanophenyl, 2-hydroxymethyl-phenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, 2-benzamide, 2-benzoic acid methyl ester or 2-methoxyphenyl;

R³ is H, halogen or (1C-4C)alkyl;

R⁴ is H, OH, (1C-4C)alkoxy, or halogen;

R⁵ is H, OH, (1C-4C)alkoxy, NH₂, CN, halogen, (1C-4C)fluoroalkyl, NO₂, hydroxy(1C-4C)alkyl, CO₂H, CO₂(1C-6C)alkyl, or

R⁵ is NHR⁶, wherein R⁶ is (1C-6C)acyl optionally substituted with one or more halogens, S(O)₂(1C-4C)alkyl, or S(O)₂aryl optionally substituted with (1C-4C)alkyl or one or more halogens, or

R⁵ is C(O)N(R⁸, R⁹), wherein R⁸ and R⁹ each independently are H, (3C-6C)cycloalkyl, or CH₂R¹⁰, wherein R¹⁰ is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-4C)alkylester of carboxy(1C-4C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, (mono- or di(1C-4C)alkyl)aminomethyl, (mono- or di(1C-4C)alkyl)aminocarbonyl, or a 3-, 4-, 5- or 6-membered monocyclic, homo- or heterocyclic, aromatic or non-aromatic ring, or R⁸ and R⁹ form

together with the N a heterocyclic 5- or 6-membered saturated or unsaturated ring optionally substituted with (1C-4C)alkyl;
or a salt or hydrate form thereof.

5 2. A compound according to claim 1, characterised in that

R^1 is a 5- or 6-membered monocyclic, hetero- or homocyclic, saturated or unsaturated ring structure optionally substituted with one or more substituents selected from the group consisting of halogen, CN, CF_3 , nitro, methoxy, trifluoromethoxy or methyl;

10 R^2 is 2-nitrophenyl, 2-cyanophenyl, 2-hydroxymethyl-phenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, 2-benzamide, 2-benzoic acid methyl ester or 2-methoxyphenyl;

R^3 is H, halogen or (1C-2C)alkyl;

R^4 is H or F.

15 3. A compound according to claim 2, characterised in that

R^5 is H, OH, (1C-4C)alkoxy, CN, halogen, (1C-4C)fluoroalkyl, NO_2 , hydroxy(1C-4C)alkyl, $CO_2(1C-6C)alkyl$, or

20 R^5 is NHR^6 , wherein R^6 is (1C-6C)acyl optionally substituted with one or more halogens, $S(O)_2(1C-4C)alkyl$, or $S(O)_2aryl$ optionally substituted with (1C-4C)alkyl or one or more halogens, or

R^5 is $C(O)N(R^8, R^9)$, wherein R^8 and R^9 each independently are H, (3C-6C)cycloalkyl, or CH_2R^{10} , wherein R^{10} is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-4C)alkylester of carboxy(1C-4C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, (mono- or di(1C-4C)alkyl)aminomethyl, (mono- or di(1C-4C)alkyl)-aminocarbonyl, or a 3-, 4-, 5- or 6-membered monocyclic, homo- or heterocyclic, aromatic or non-aromatic ring, or R^8 and R^9 form together with the N a heterocyclic 5- or 6-membered saturated or unsaturated ring optionally substituted with (1C-4C)alkyl.

30 4. A compound according to claim 3, characterised in that

R^3 is H or halogen;

R^4 is H;

R^5 is H, OH, (1C-4C)alkoxy, CN, F, Cl, CF_3 , NO_2 , hydroxy(1C-4C)alkyl, CO_2 (1C-6C)alkyl, or

R^5 is NHR^6 , wherein R^6 is (1C-3C)acyl optionally substituted with one or more halogens or

5 R^5 is $C(O)N(R^8, R^9)$, wherein R^8 and R^9 each independently are H, (3C-5C)cycloalkyl, or CH_2R^{10} , wherein R^{10} is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-2C)alkylester of carboxy(1C-2C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, (mono- or di(1C-4C)alkyl)aminomethyl, (mono- or di(1C-4C)alkyl)aminocarbonyl, (3C-5C)cycloalkyl, or a 5-membered
10 heterocyclic ring.

5. A compound according to claim 4, characterised in that

X is S or SO_2 ;

15 R^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-benzamide, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl;

R^3 is H or F;

R^5 is H, OH, (1C-2C)alkoxy, CN, F, Cl, CF_3 , NO_2 , hydroxy(1C-4C)alkyl, CO_2 (1C-4C)alkyl, or

20 R^5 is NHR^6 , wherein R^6 is formyl, acetyl, fluoroacetyl, difluoroacetyl, or trifluoroacetyl, or

R^5 is $C(O)N(R^8, R^9)$, wherein R^8 is H, and R^9 is H, cyclopropyl or

R^9 is CH_2R^{10} , wherein R^{10} is H, (1C-2C)alkyl, hydroxy(1C-2C)alkyl, methoxy(1C-2C)alkyl, cyclopropyl.

25 6. A compound according to claim 5, characterised in that

X is S;

R^1 is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-5-yl, pyrimidin-4-yl, pyrazin-2-yl, 3-fluorophenyl, 3-cyanophenyl, or 3-nitrophenyl;

30 R^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl;

R^3 is H;

R⁵ is OH, (1C-2C)alkoxy, CN, CF₃, NO₂, hydroxy(1C-4C)alkyl, CO₂(1C-4C)alkyl, or NHR⁶, wherein R⁶ is formyl, acetyl, fluoroacetyl, difluoroacetyl, or trifluoroacetyl.

- 5 7. A compound according to claim 6, characterised in that
R¹ is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-5-yl, pyrimidin-4-yl,
or pyrazin-2-yl;
R² is 2-nitrophenyl, or 2-hydroxymethyl-phenyl;
R⁵ is OH, (1C-2C)alkoxy, CN, hydroxy(1C-4C)alkyl, or NHR⁶, wherein R⁶ is
10 formyl, acetyl, fluoroacetyl, difluoroacetyl, or trifluoroacetyl.
8. A compound according to claim 7, characterised in that
R¹ is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-5-yl, or pyrimidin-4-
yl;
15 R² is 2-nitrophenyl;
R⁵ is OH, (1C-2C)alkoxy, CN, or NHR⁶, wherein R⁶ is formyl, acetyl, fluoroacetyl,
difluoroacetyl, or trifluoroacetyl.
9. A compound according to claim 8 selected from the group consisting of 6-
20 Methoxy-3-(2-nitro-phenylsulfanyl)-1-pyrimidin-5-ylmethyl-1*H*-indole, 3-(2-
Nitro-phenylsulfanyl)-1-pyridin-2-ylmethyl-1*H*-indole-6-carbonitrile, 3-(2-Nitro-
phenylsulfanyl)-1-pyridin-2-ylmethyl-1*H*-indole-6-carbonitrile-hydrochloride, 3-
(2-Nitro-phenylsulfanyl)-1-pyrimidin-5-ylmethyl-1*H*-indole-6-carbonitrile, 3-(2-
Nitro-phenylsulfanyl)-1-pyrimidin-4-ylmethyl-1*H*-indole-6-carbonitrile, *N*-[1-
25 (3,5-Difluoro-benzyl)-3-(2-nitro-phenylsulfanyl)-1*H*-indol-6-yl]-2-fluoro-
acetamide, and *N*-[3-(2-Nitro-phenylsulfanyl)-1-pyrimidin-5-ylmethyl-1*H*-indol-
6-yl]-formamide.
10. A compound according to claim 5, characterised in that
30 X is S;
R¹ is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, 3-fluorophenyl, 3-cyanophenyl,
or 3-nitrophenyl;

R^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl;

R^3 is H;

R^5 is $C(O)N(R^8, R^9)$, wherein R^8 is H, and R^9 is H, or CH_2R^{10} , wherein R^{10} is H, (1C-2C)alkyl, hydroxy(1C-2C)alkyl, or methoxy(1C-2C)alkyl.

11. A compound according to claim 10, characterised in that

R^1 is 3,5-difluorophenyl, pyridin-2-yl, or pyridin-3-yl;

R^2 is 2-nitrophenyl, or 2-hydroxymethyl-phenyl;

R^5 is $C(O)N(R^8, R^9)$, wherein R^8 is H, and R^9 is CH_2R^{10} , wherein R^{10} is H, or (1C-2C)alkyl.

12. A compound according to claim 11 which is 1-(3,5-Difluoro-benzyl)-3-(2-nitrophenylsulfanyl)-1*H*-indole-6-carboxylic acid methylamide.

13. A compound according to claim 4, characterised in that

X is S;

R^1 is 3,5-difluorophenyl, pyridin-2-yl, pyridin-3-yl, 3-fluorophenyl, 3-cyanophenyl, or 3-nitrophenyl;

R^2 is 2-nitrophenyl, 2-hydroxymethyl-phenyl, 2-methoxyphenyl, 2-cyanophenyl or pyridin-2-yl;

R^3 is H;

R^5 is $C(O)N(R^8, R^9)$, wherein R^8 and R^9 each independently are H, or CH_2R^{10} , wherein R^{10} is H, (1C-5C)alkyl, (1C-5C)alkenyl, hydroxy(1C-3C)alkyl, (1C-3C)alkoxy(1C-3C)alkyl, or (mono- or di(1C-4C)alkyl)aminomethyl.

14. A compound according to claim 13, characterised in that

R^1 is 3,5-difluorophenyl, pyridin-2-yl, or pyridin-3-yl;

R^2 is 2-nitrophenyl, or 2-hydroxymethyl-phenyl;

R^5 is $C(O)N(R^8, R^9)$, wherein R^8 and R^9 each independently are H, or CH_2R^{10} ,
wherein R^{10} is H, (1C-5C)alkyl, hydroxy(1C-3C)alkyl, or (1C-3C)alkoxy(1C-3C)alkyl.

- 5 15. A compound according to claim 14 which is 1-(3,5-Difluoro-benzyl)-3-(2-nitro-phenylsulfanyl)-1*H*-indole-6-carboxylic acid dimethylamide.
16. The compound of any one of claims 1-15 for use in therapy.
- 10 17. A pharmaceutical composition comprising a compound according to any one of claims 1-15 and a pharmaceutically acceptable carrier.
18. A pharmaceutical composition according to claim 17 for the treatment of a disorder selected from the group consisting of an androgen-receptor related disorder, an
15 androgen related disorder and androgen insufficiency.
19. A use of a compound according to any one of claims 1-15 for the manufacture of a medicament for the treatment of androgen-receptor related disorders, androgen related disorders and androgen insufficiency.
- 20 20. A method of treating a disorder selected from the group consisting of an androgen-receptor related disorder, an androgen related disorder and androgen insufficiency comprising administering a pharmaceutically effective amount of a compound according to any one of claims 1-15 to a subject in need thereof.
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